

## PATENT COOPERATION TREATY

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## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PC/4 -33277A		<b>FOR FURTHER ACTION</b>		See Form PCT/PEA/416
International application No. PCT/EP2004/007980		International filing date (day/month/year) 15.07.2004	Priority date (day/month/year) 15.07.2003	
International Patent Classification (IPC) or national classification and IPC C07F5/06, C07F5/02, C07D257/04, C07D403/10				
Applicant NOVARTIS AG				
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of    sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau) a total of    sheets, as follows:</p> <p style="margin-left: 40px;"><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p style="margin-left: 40px;"><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s))    , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>				
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I    Basis of the opinion</p> <p><input type="checkbox"/> Box No. II    Priority</p> <p><input type="checkbox"/> Box No. III    Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV    Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V    Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI    Certain documents cited</p> <p><input type="checkbox"/> Box No. VII    Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII    Certain observations on the international application</p>				
Date of submission of the demand  10.05.2005		Date of completion of this report  08.07.2005		
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer  Fanni, S  Telephone No. +49 89 2399- 		

**INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY**

International application No.  
PCT/EP2004/007980

**Box No. I Basis of the report**

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

**Description, Pages**

1-57 as originally filed

**Claims, Numbers**

1-10 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing *(specify)*:
  - ☐ any table(s) related to sequence listing *(specify)*:
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing *(specify)*:
  - ☐ any table(s) related to sequence listing *(specify)*:

\* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY**

International application No.  
PCT/EP2004/007980

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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**1. Statement**

Novelty (N)	Yes: Claims	1, 10
	No: Claims	
Inventive step (IS)	Yes: Claims	1, 10
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1, 10
	No: Claims	

**2. Citations and explanations (Rule 70.7):**

**see separate sheet**

**Re Item V.**

- 1 The following documents are referred to in this communication:

D1 : HAGISHITA S ET AL: "POTENT INHIBITORS OF SECRETORY PHOSPHOLIPASE A2: SYNTHESIS AND INHIBITORY ACTIVITIES OF INDOLIZINE AND INDENE DERIVATIVES" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 39, no. 19, 1996, pages 3636-3658, XP002921819 ISSN: 0022-2623

D2 : JOSEPH, B. ET AL: "3-ARYL-2-Quinolone Derivatives: Synthesis and Characterisation of In Vitro and In Vivo Antitumor Effects with Emphasis on a New Therapeutical Target Connected with Cell Migration" JOURNAL OF MEDICINAL CHEMISTRY, 2002, pages 2543-2555, XP002304959 WASHINGTON, US

- 1) Neither D1 nor D2 disclose or even suggest the use of organo boron or organo aluminium azides as starting material for the synthesis of tetrazole derivatives. The present subject matter is therefore novel over D1 and D2 (Article 33(2) PCT).
- 2) The present subject matter is directed towards the synthesis of tetrazoles using organo boron or organo aluminium azides as the starting material.

In both D1 and D2 organo tin azides are used as starting material for the synthesis of tetrazoles.

The problem to be solved vis-à-vis D1 and/or D2 is therefore considered the provision of further process for the synthesis of tetrazole derivatives. Since neither D1 nor D2 suggest organo boron or organo aluminium azides as alternatives to organo tin azides, it appears that the present subject matter is based upon an inventive step (Article 33(3) PCT).